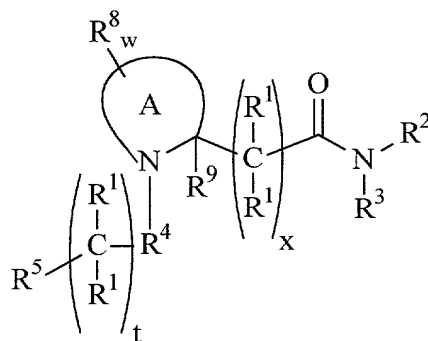


What is claimed is:

1. An active compound selected from the group consisting of a structure:



5 wherein w is 0 to about 6, x is 0 to about 10, and t is 0 to about 6;

A is a substituted heterocyclic group having about 4 to about 9 members;

10  $R^1$  is selected from the group consisting of a hydrogen atom, a hydroxyl group, a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group,

15  $R^2$  and  $R^3$  are bonded together to form a substituted heterocyclic group having about 4 to about 9 members, with the proviso that the substituted heterocyclic group optionally contains 1 or more members selected from the group consisting of O, and  $NR^{10}$ , wherein  $R^{10}$  is selected from the group consisting of hydrogen atom, a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group;

20  $R^4$  is selected from the group consisting of  $-S(O)_2-$ ,  $-C(O)-$ ,  $-C(O)-C(O)-$ , and  $-CH(R^1)-$ ;

$R^5$  is selected from the group consisting of  $-NR^6(R^7)-$  and  $-O_rR^6-$ ,

wherein r is 0 or 1;

25  $R^6$  is selected from the group consisting of a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted

heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group; and

5  $R^7$  is selected from the group consisting of a hydrogen atom and  $R^6$ ;

$R^8$  is selected from the group consisting of a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group;

10  $R^9$  is selected from the group consisting of a hydrogen atom and a hydrocarbon group; and

an optical isomer, a diastereomer, an enantiomer, a pharmaceutically-acceptable salt, a biohydrolyzable amide, a biohydrolyzable ester, and a biohydrolyzable imide of the structure.

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2. The compound of claim 1, wherein A has 5 to 6 members.

3. The compound of claim 1, wherein  $R^2$  and  $R^3$  form a substituted heterocyclic group having 5 to 6 members.

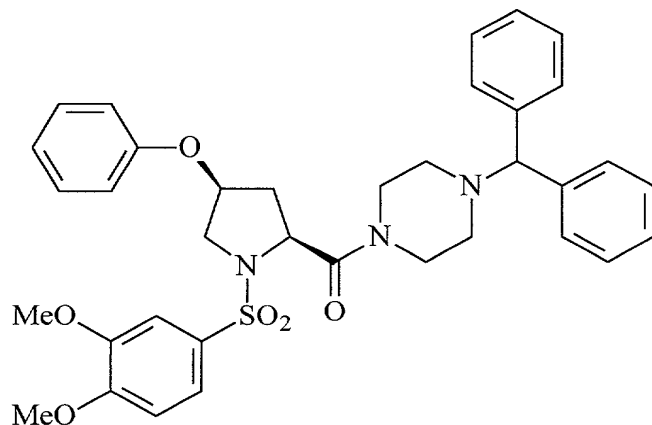
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4. The compound of claim 3, wherein the substituted heterocyclic group is substituted with a group selected from the group consisting of an aromatic group; a substituted aromatic group; a heteroaromatic group; a substituted heteroaromatic group; a substituted hydrocarbon group, wherein the substituted hydrocarbon group is substituted with a group selected from the group consisting of an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group; and a substituted heterogenous group, wherein the substituted heterogenous group is substituted with a group selected from the group consisting of an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group.

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5. The compound of claim 1, wherein  $R^4$  is  $-S(O)_2-$  and  $R^5$  is  $-O, R^6$ .

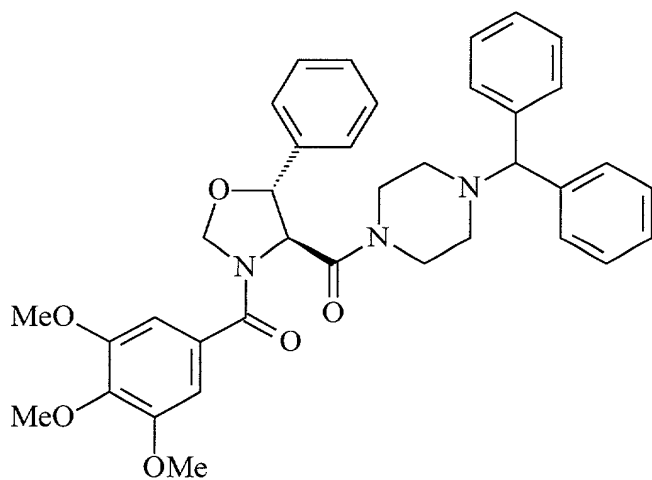
6. The compound of claim 5, wherein the compound has a formula:



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7. The compound of claim 1, wherein  $R^4$  is  $-C(O)-$  and  $R^5$  is  $-O, R^6$ .

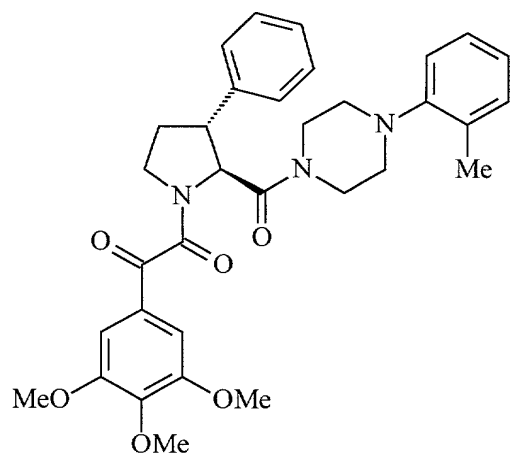
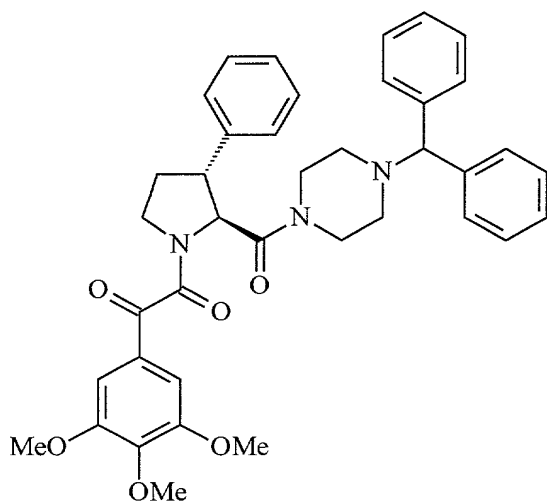
8. The compound of claim 7, wherein the compound has a formula:



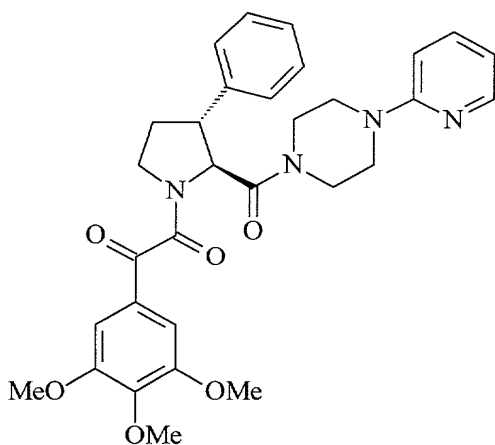
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9. The compound of claim 1, wherein  $R^4$  is  $-C(O)-C(O)-$  and  $R^5$  is  $-O, R^6$

10. The compound of claim 9, wherein the compound has a formula selected from the group consisting of:

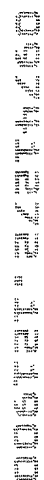
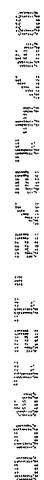
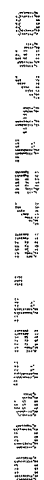


, and



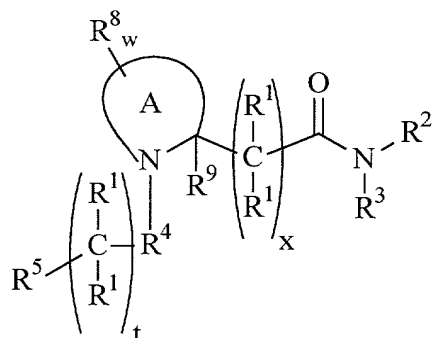
11. The compound of claim 1, wherein  $R^4$  is  $-\text{CH}(R^1)-$  and  $R^5$  is  $-\text{O}R^6$ ,

12. The compound of claim 11, wherein the compound has a formula selected from the group consisting of:



-

(A) an active compound selected from the group consisting of a structure



wherein w is 0 to about 6, x is 0 to about 10, and t is 0 to about 6;

A is a substituted heterocyclic group having about 4 to about 9 members;

5  $R^1$  is selected from the group consisting of a hydrogen atom, a hydroxyl group, a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group,

10  $R^2$  and  $R^3$  are bonded together to form a substituted heterocyclic group having about 4 to about 9 members, with the proviso that the substituted heterocyclic group optionally contains 1 or more members selected from the group consisting of O, and  $NR^{10}$ , wherein  $R^{10}$  is selected from the group consisting of hydrogen atom, a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted

15 heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group;

$R^4$  is selected from the group consisting of  $-S(O)_2-$ ,  $-C(O)-$ ,  $-C(O)-C(O)-$ , and  $-CH(R^1)-$ ;

20  $R^5$  is selected from the group consisting of  $-NR^6(R^7)-$  and  $-O_rR^6-$ ,

wherein r is 0 or 1;

$R^6$  is selected from the group consisting of a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a

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substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group; and

$R^7$  is selected from the group consisting of a hydrogen atom and  $R^6$ ;

$R^8$  is selected from the group consisting of a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group;

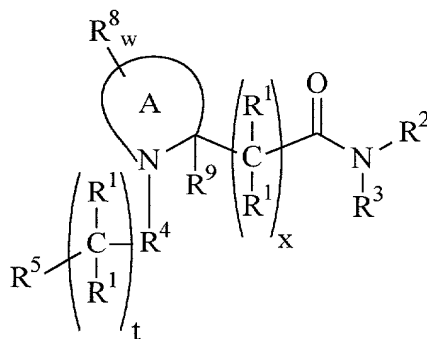
$R^9$  is selected from the group consisting of a hydrogen atom and a hydrocarbon group; and

an optical isomer, a diastereomer, an enantiomer, a pharmaceutically-acceptable salt, a biohydrolyzable amide, a biohydrolyzable ester, and a biohydrolyzable imide of the structure, and combinations thereof; and

(B) a carrier.

14. The composition of claim 13, further comprising: component (C) a therapeutic agent selected from the group consisting of (i) a cancer therapeutic agent, (ii) an antibacterial agent, (iii) an antiviral agent, (iv) an antifungal agent, and combinations thereof.

15. A method for inhibiting transport protein activity comprising administering, to a subject, a compound selected from the group consisting of a structure:



wherein w is 0 to about 6, x is 0 to about 10, and t is 0 to about 6;

A is a substituted heterocyclic group having about 4 to about 9 members;

R<sup>1</sup> is selected from the group consisting of a hydrogen atom, a hydroxyl group, a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group,

R<sup>2</sup> and R<sup>3</sup> are bonded together to form a substituted heterocyclic group having about 4 to about 9 members, with the proviso that the substituted heterocyclic group optionally contains 1 or more members selected from the group consisting of O, and

NR<sup>10</sup>, wherein R<sup>10</sup> is selected from the group consisting of hydrogen atom, a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group;

R<sup>4</sup> is selected from the group consisting of -S(O)<sub>2</sub>-, -C(O)-, -C(O)-C(O)-, and -CH(R<sup>1</sup>)-;

R<sup>5</sup> is selected from the group consisting of -NR<sup>6</sup>(R<sup>7</sup>)- and -O<sub>r</sub>R<sup>6</sup>-,

wherein r is 0 or 1;

R<sup>6</sup> is selected from the group consisting of a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group; and

R<sup>7</sup> is selected from the group consisting of a hydrogen atom and R<sup>6</sup>;

R<sup>8</sup> is selected from the group consisting of a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group;



R<sup>9</sup> is selected from the group consisting of a hydrogen atom and a hydrocarbon group;

an optical isomer, a diastereomer, an enantiomer, a pharmaceutically-acceptable salt, a biohydrolyzable amide, a biohydrolyzable ester, and a biohydrolyzable imide of the structure; and combinations thereof.

16. The method of claim 15, further comprising coadministering component (C) a therapeutic agent.

10 17. The method of claim 16, wherein component (C) is coadministered at a time selected from the group consisting of before, during, and after administration of component (A); and combinations thereof.

Figure 1 consists of 12 sub-graphs (a-l) showing the time course of various physiological parameters during a 10-minute period. The parameters are: (a) HR (b/min), (b) SV (ml), (c) CO (l/min), (d) MAP (mmHg), (e) PVR (mmHg), (f) SVR (mmHg), (g) PPA (mmHg), (h) PVP (mmHg), (i) PVP/PPA, (j) PVP/PPA, (k) PVP/PPA, and (l) PVP/PPA. Each graph shows a baseline period followed by a 10-minute intervention period. The y-axis for each graph is labeled with the parameter name and units. The x-axis is labeled 'Time (min)' with markers at 0, 5, and 10. The graphs show that HR, SV, CO, MAP, PVR, SVR, PPA, and PVP all increase during the intervention period, while PVP/PPA remains relatively stable.